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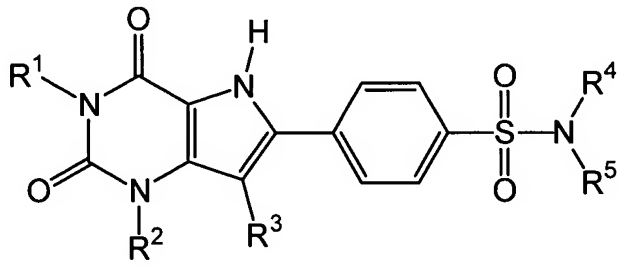
DT04 Rec'd PCT/PTO 28 SEP 2004

AMENDMENTS TO THE CLAIMS

Please amend claims 1, 2, 4, 5, 7-13, 15, 18, and 19. Please cancel claims 14, 16, and 17 without prejudice or disclaimer. Deletions appear in ~~strikethrough font~~, and additions are underlined. This listing of claims below will replace all prior versions and listings of claims in the application.

Complete listing of claims

1. (Currently amended) A compound of formula (I)



(I)

wherein

R^1 and R^2 each independently represents:

a) a hydrogen atom;

b) a hydrocarbon chain ~~selected~~chosen from an alkyl, alkenyl ~~or~~ and alkynyl groups, ~~which~~ wherein said hydrocarbon chain is optionally substituted by one or more substituents ~~selected~~chosen from halogen, hydroxy, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, cyano, oxo, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl,

alkylcarbamoyl, dihydroxyphosphoryloxy ~~or~~ and dialkoxyphosphoryloxy groups; or

c) a group of formula

$$-(CH_2)_n-R^6$$

~~wherein~~

n is an integer from 0 to 4 and

R^6 represents a 3- to 7-membered aromatic or non-aromatic cyclic group containing from 0 to 4 heteroatoms ~~selected~~chosen from N, O and S, ~~which~~ wherein said 3- to 7-membered aromatic or non-aromatic cyclic group is optionally bridged and/or fused to another 3- to 7-membered aromatic or non- aromatic cyclic group containing from 0 to 4 heteroatoms ~~selected~~chosen from N, O and S; and

wherein each of the cyclic groups in the moiety R^6 ~~being~~ is independently optionally substituted by one or more R^7 substituents;

R^7 represents a group ~~selected~~chosen from halogen, alkyl, alkenyl, alkynyl, aryl, arylalkyl, cycloalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, hydroxy, alkylenedioxy, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, nitro, cyano, oxo, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl, alkylcarbamoyl, dihydroxyphosphoryloxy and dialkoxyphosphoryloxy groups;

wherein each of the hydrocarbon chains and each of the cyclic moieties in ~~of these~~ R^7 ~~substituents being~~ is independently optionally substituted by one or more further R^8 substituents;

R^8 represents a group ~~selected~~chosen from halogen, hydroxy, oxo, cyano, alkyl, difluoromethyl, trifluoromethyl, alkoxy, alkylenedioxy, alkylthio, acylamino, carbamoyl, alkylcarbamoyl, dihydroxyphosphoryloxy, dialkoxyphosphoryloxy, hydroxyalkoxy, phenyl, alkoxycarbonyl, amino, monoalkylamino, dialkylamino and hydroxycarbonyl groups;

R^3 represents a hydrogen or halogen atom, or a nitro, alkoxycarbonyl or alkyl group; wherein the alkyl group ~~being~~ is optionally substituted by one or more substituents ~~selected~~chosen from hydroxy, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl ~~or~~ and alkylcarbamoyl groups;

R^4 and R^5 are the same or different, each independently representing:

a) hydrogen;

b) a group of formula $-(CH_2)_n-R^6$; ~~wherein n is an integer from 0 to 4; and R^6 is as defined above and is optionally substituted by one or more R^7 substituents, wherein R^7 is as defined above and is optionally substituted by one or more further R^8 substituents, wherein R^8 is as defined above;~~

c) or a hydrocarbon chain ~~selected~~chosen from alkyl, alkenyl ~~or~~ and alkynyl, wherein said hydrocarbon chain ~~which~~ is optionally substituted by

one or more substituents ~~selected~~chosen from -$(CH_2)_n$-R^6, -O-$(CH_2)_n$-R^6, -S-$(CH_2)_n$-R^6, -NH-$(CH_2)_n$-R^6, hydroxy, oxo, halogen, alkoxy, alkylthio, amino, monoalkylamino, and dialkylamino groups; wherein each of the alkyl chains in the alkoxy, alkylthio, monoalkylamino ~~and~~ or dialkylamino substituents ~~being~~ is independently optionally substituted by one or more further substituents ~~selected~~chosen from -$(CH_2)_n$-R^6, hydroxy, oxo, halogen, alkoxy, alkylthio, amino, monoalkylamino and dialkylamino groups; wherein each n is independently an integer from 0 to 4 and each R^6 is independently chosen from each other;~~as defined above and is optionally substituted by one or more R^7 substituents, wherein R^7 is as defined above and is optionally substituted by one or more further R^8 substituents, wherein R^8 is as defined above;~~ or,

d) alternatively, R^4 and R^5, together with the nitrogen atom to which they are attached, form a 3- to 7-membered aromatic or non-aromatic cyclic group containing from 1 to 4 heteroatoms ~~selected~~chosen from N, O and S, ~~which~~ wherein said 3- to 7-membered aromatic or non-aromatic cyclic group is optionally bridged and/or fused to another 3- to 7-membered aromatic or non-aromatic cyclic group containing from 0 to 4 heteroatoms ~~selected~~chosen from N, O and S;

wherein each of the cyclic groups ~~being~~ is independently optionally substituted by one or more substituents ~~selected~~chosen from -$(CH_2)_n$$R^6$ and R^7; wherein each of the hydrocarbon chains and each of the cyclic

moieties of the R^7 substituents ~~being~~ is independently optionally substituted by one or more further substituents ~~selected~~chosen from -$(CH_2)_n$-R^6 and R^8; ~~and~~ wherein each of the alkyl chains in the R^8 substituents ~~being~~ is independently optionally substituted by one or more further substitutents ~~selected~~chosen from -$(CH_2)_n$-R^6, hydroxy, halogen, alkoxy, alkylthio, amino, monoalkylamino and dialkylamino groups; wherein each of the R^6 substituents is independently chosen from each other~~optionallly substitued by one or more R^7 substituents and each of these R^7 substituents is optionally substituted by one or more R^8 substituents; and wherein each n, R^6, R^7 and R^8 is as defined above~~;

or an N-oxide or a pharmaceutically acceptable salt thereof.

2. (Currently amended) A compound according to claim 1, wherein each of R^1 and R^2 independently represents:

a) an alkyl group optionally substituted by one or more substituents ~~selected~~chosen from hydroxy, halogen, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, hydroxycarbonyl, and alkoxycarbonyl groups; or

b) a group of formula -$(CH_2)_n$-R^6, wherein n is an integer from 0 to 2 and R^6 represents a 3- to 7-membered aromatic or non-aromatic cyclic group having from 0 to 2 heteroatoms ~~selected~~chosen from nitrogen and oxygen.

3. (Original) A compound according to claim 2 wherein R^1 and R^2 are both unsubstituted C_1-C_6 alkyl groups.

4. (Currently amended) A compound according to ~~any one of the preceding claims~~claim 1, wherein R^3 represents hydrogen or a halogen atom.

5. (Currently amended) A compound according to claim 1,~~any one of the preceding claims~~ wherein ~~R^4 is as defined in claim 1 and~~

R^5 is hydrogen, a group of formula $-(CH_2)_nR^6$ or a hydrocarbon chain ~~selected~~chosen from alkyl, alkenyl and alkynyl,

wherein said hydrocarbon chain ~~which~~ is optionally substituted by one or more groups ~~selected~~chosen from $-(CH_2)_n-R^6$ and $-(CH_2)_n-O-R^6$;

wherein each R^6 ~~being~~ is independently a phenyl or a pyridyl group, and

wherein each R^6 ~~which~~ is independently optionally substituted by one or more substituents ~~selected~~chosen from halogen, hydroxy, alkyl, alkoxy and alkylthio groups.

6. (Original) A compound according to claim 5, wherein R^5 is hydrogen or an alkyl group.

7. (Currently amended) A compound according to claim 5,~~any one of claims 5 or 6,~~ wherein R^4 is

~~–~~a) hydrogen;

~~–~~b) a group of formula $-(CH_2)_n-R^6$ wherein n is 0, 1 or 2 and R^6 is a 5- to 6-membered heteroaryl or heterocyclyl group containing up to 2 heteroatoms ~~selected~~chosen from N, O and S, wherein R^6~~which~~ is optionally substituted by a R^7 substituent ~~selected~~chosen from alkyl, alkoxy, arylalkyl or heteroarylalkyl groups, wherein each of the aryl and

heteroaryl moieties of these arylalkyl and heteroarylalkyl R^7 substituents ~~being~~ is independently optionally substituted by 1 or 2 further R^8 substituents ~~selected~~chosen from halogen, cyano, alkyl, trifluoromethyl, alkoxy and alkylenedioxy; or

c) an alkyl group, which is optionally substituted by 1 or 2 substituents ~~selected~~chosen from amino, monoalkylamino, dialkylamino, $-OR^6$ and $-SR^6$ substituents, wherein R^6 is a 5- or 6- membered heteroaryl group containing 1 or 2 heteroatoms, and is optionally substituted by one or more R^7 substituents ~~selected~~chosen from hydroxy, halogen, amino, monoalkylamino, dialkylamino, cyano, hydroxycarbonyl, alkoxycarbonyl, alkoxy, alkylenedioxy and alkylthio; and wherein the alkyl chains of each of the said monoalkylamino and dialkylamino substituents are independently optionally substituted by 1 or 2 further substituents ~~selected~~chosen from a hydroxy group and a group of formula $-(CH_2)_n-R^6$, wherein n is an integer from 0 to 4 and R^6 is an aryl group.

8. (Currently amended) A compound according to claim 1, ~~any one of claims 1 to 4~~ wherein R^4 and R^5 form, together with the nitrogen atom to which they are attached, an optionally bridged 5- to 7-membered aromatic or non-aromatic cyclic group, which contains up to two nitrogen atoms, and which is optionally substituted by a group of formula $-(CH_2)_n-R^6$ or by a R^7 substituent ~~selected~~chosen from alkyl, alkenyl and alkynyl chains; ~~the~~ wherein each of said alkyl, alkenyl and alkynyl chains ~~being~~ is independently optionally substituted by

one or more groups of formula $-(CH_2)_n-R^6$ or R^8 substituents ~~selected~~chosen from hydroxy, halogen, alkoxy, alkylthio, amino, monoalkylamino, and dialkylamino groups; wherein each of the alkyl chains in these R^8 substituents ~~being~~ is independently optionally substituted by one or more further substituents ~~selected~~chosen from a group of formula $-(CH_2)_n-R^6$, and hydroxy, halogen, alkoxy, alkylthio, amino, monoalkylamino and dialkylamino groups; wherein each of the R^6 groups is independently chosen from each other. ~~optionallly substitued by one or more R^7 substituents and each of these R^7 substituents is optionally substituted by one or more R^8 substituents; each n, R^6, R^7 and R^8 being as defined in claim 1.~~

9. (Currently amended) A compound according to claim 8, wherein R^4 and R^5 form, together with the N atom to which they are attached, a 5-, 6- or 7- membered saturated heterocyclic group, which contains 1 or 2 nitrogen atoms and which optionally carries a bridging alkylene group,

wherein said saturated heterocyclic cyclic group ~~being~~ is optionally substituted by a group of formula $-(CH_2)_n-R^6$ wherein n is 0, 1 or 2 and R^6 is a 5- or 6-membered aromatic or non-aromatic ring containing 0, 1 or 2 heteroatoms ~~selected~~chosen from N, O and S, or by a R^7 substituent ~~selected~~chosen from alkyl and alkenyl groups,

wherein the group R^6 ~~being~~ is optionally substituted by 1, 2 or 3 further substituents ~~selected~~chosen from haloalkyl, alkyl, alkoxy, alkylenedioxy, cyano and halogen groups, and the said R^7 substituent ~~being~~ is optionally substituted by 1 or 2 phenyl substituents.

10. (Currently amended) A compound according to claim 1 ~~which is one of~~chosen from:

4-(1,3-Dimethyl-2,4- dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-N-[2-(pyridin-2-yloxy)ethyl]benzenesulfonamide;

4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5- tetrahydro-1 H-pyrrolo[3,2-*d*]pyrimidin-6-yl)-N-[2-(6 methoxypyridin-2-yloxy)ethyl]benzenesulfonamide;

6-[4-(4-Benzylpiperazine-1-sulphonyl)phenyl]-1,3-dimethyl-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione;

6-{4-[4-(4-Fluorobenzyl)piperazine-1-sulphonyl]phenyl}-1-methyl-3-propyl-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione;

6-[4-(4-Benzo[1,3]dioxol-5-ylmethylpiperazine-1-sulphonyl)phenyl]-1-methyl-3-propyl-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione;

6-{4-[4-(3-Fluorobenzyl)piperazine-1-sulphonyl]phenyl}-1,3-dimethyl-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione;

1-Methyl-3-propyl-6-[4-(4-pyridin-2-ylpiperazine-1-sulphonyl)phenyl]-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione;

4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-(2-pyridin-2-ylethyl)benzenesulphonamide;

4-(1-Methyl-2,4-dioxo-3-propyl-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-(2-pyridin-2-ylethyl)benzenesulphonamide;

4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-N-pyridin-2-ylbenzenesulphonamide;

4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-(6-methoxypyridin-3-yl)benzenesulphonamide;

6-{4-[4-(5-Chlorothiophen-2-ylmethyl)piperazine-1-sulphonyl]phenyl}-1,3-dimethyl-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione;

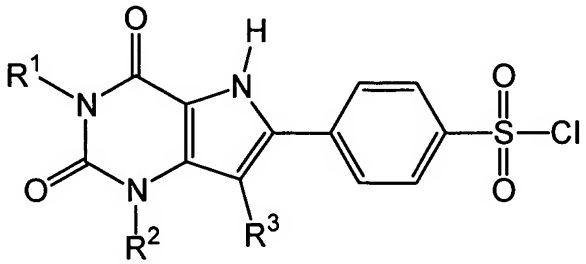
6-{4-[4-(5-Chlorothiophen-2-ylmethyl)piperazine-1-sulphonyl]phenyl}-1,3-diethyl-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione;

N-(1-Benzylpiperidin-4-yl)-4-(2,4-dioxo-1,3-dipropyl-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)benzenesulphonamide;

4-(1,3-Diethyl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-[1-(4-fluorobenzyl)piperidin-4-yl]benzenesulphonamide;

or a pharmaceutically acceptable salt or an N-oxide thereof.

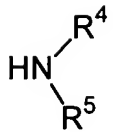
11. (Currently amended) A process for producing a compound of formula I as ~~defined in any one of claims 1 to 10~~claimed in claim 1, comprising~~, which process comprises~~ reacting a sulphonyl chloride of formula II



(II)

~~wherein R^1, R^2 and R^3 are as defined in any one of claims 1 to 4 or 10,~~

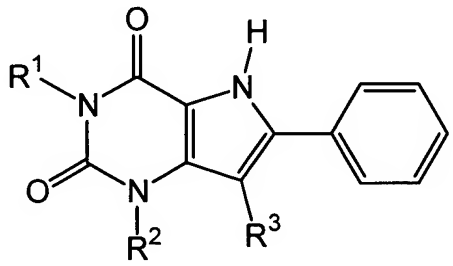
with the corresponding amine III



(III)

~~wherein R^4 and R^5 are as defined in any one of claims 1 or 5 to 10~~and optionally converting the product of the reaction into the corresponding N-oxide or pharmaceutically acceptable salt thereof.

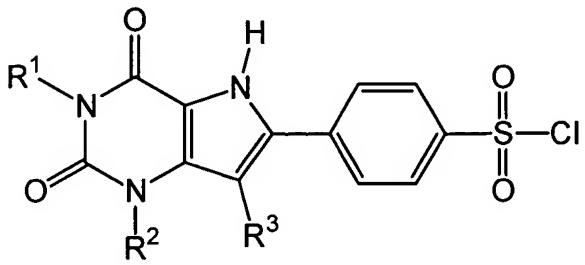
12. (Currently amended) A process according to claim 11, wherein the sulphonyl chloride of formula II is obtained from the corresponding compound of formula IV:



(IV)

~~wherein R^1, R^2 and R^3 are as defined in any one of claims 1 to 4 or 10, by reaction with an excess of chlorosulphonic acid~~.

13. (Currently amended) A compound of formula II



(II)

~~wherein R^1, R^2 and R^3 are as defined in any one of claims 1 to 4 or 10~~

R^1 and R^2 each independently represents:

a) a hydrogen atom;

b) a hydrocarbon chain chosen from an alkyl, alkenyl and alkynyl group, wherein said hydrocarbon chain is optionally substituted by one or more substituents chosen from halogen, hydroxy, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, cyano, oxo, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl, alkylcarbamoyl, dihydroxyphosphoryloxy and dialkoxyphosphoryloxy groups; or

c) a group of formula

$$-(CH_2)_n-R^6$$

n is an integer from 0 to 4 and

R^6 represents a 3- to 7-membered aromatic or non-aromatic cyclic group containing from 0 to 4 heteroatoms chosen from N, O and S, wherein said 3- to 7-membered aromatic or non-aromatic cyclic group is optionally bridged and/or fused to another 3- to 7-membered aromatic or non-aromatic cyclic group containing from 0 to 4 heteroatoms chosen from N, O and S;

wherein each of the cyclic groups in the moiety R^6 is independently optionally substituted by one or more R^7 substituents;

R^7 represents a group chosen from halogen, alkyl, alkenyl, alkynyl, aryl, arylalkyl, cycloalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, hydroxy, alkylenedioxy, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, nitro, cyano, oxo, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl, alkylcarbamoyl, dihydroxyphosphoryloxy and dialkoxyphosphoryloxy groups;

wherein each of the hydrocarbon chains and each of the cyclic moieties in R^7 is independently optionally substituted by one or more further R^8 substituents;

R^8 represents a group chosen from halogen, hydroxy, oxo, cyano, alkyl, difluoromethyl, trifluoromethyl, alkoxy, alkylenedioxy, alkylthio, acylamino, carbamoyl, alkylcarbamoyl, dihydroxyphosphoryloxy, dialkoxyphosphoryloxy, hydroxyalkoxy, phenyl, alkoxycarbonyl, amino, monoalkylamino, dialkylamino and hydroxycarbonyl groups;

R^3 represents a hydrogen or halogen atom, or a nitro, alkoxycarbonyl or alkyl group; wherein the alkyl group is optionally substituted by one or more substituents chosen from hydroxy, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl and alkylcarbamoyl groups;

or an N-oxide or a pharmaceutically acceptable salt thereof.

14. (Cancelled)

15. (Currently amended) A pharmaceutical composition comprising a compound as ~~defined in any one of claims 1 to 10 mixed with~~claimed in claim 1 and a pharmaceutically acceptable diluent or carrier.

16. (Cancelled)

17. (Cancelled)

18. (Currently amended) A method for treating a subject afflicted with a pathological condition or disease susceptible to amelioration by antagonism of A_{2A} and/or A_{2B} adenosine receptors, ~~which comprises~~comprising administering to said subject an effective amount of a compound as ~~defined in any one of claims 1 to 10~~claimed in claim 1.

19. (Currently amended) A method according to claim 18, wherein the pathological condition or disease is chosen from Parkinson's disease, Alzheimer disease, Huntington chorea, Wilson's disease, asthma, bronchoconstriction, allergic diseases, hypertension, atherosclerosis, reperfusion injury, myocardial ischemia, retinopathy, inflammation, gastrointestinal tract disorders, cell proliferation disorders, diabetes mellitus, and~~/or~~ autoimmune diseases.